

(I)

wherein:

E R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, benzyl and phenyl;

R^2 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, benzyloxy and C_1 - C_7 -alkanoyloxy;

R^1 and R^2 , if adjacent, may form a bridge selected from

$-(CH_2)_4-$ and $-(CH=CH)_2-$ or $CH_2O-CR^7R^8-O-$, wherein R^7 and R^8 are selected independently from each other from hydrogen and C_1-C_6 -alkyl;

R^3 is selected from the group consisting of hydrogen, halogen, C_1-C_6 -alkyl, trifluoromethyl and C_1-C_6 -hydroxyalkyl;

R^4 is selected from the group consisting of hydrogen, C_1-C_6 -alkyl, C_3-C_6 -alkenyl, C_3-C_6 -alkinyl, C_3-C_6 -cycloalkyl, hydroxy, C_1-C_6 -alkoxy and benzyloxy;

k is 0 or 1,

E₁ A is selected from the group consisting of C_1-C_6 -alkylene,

a substituted C_1-C_6 -alkylene which is substituted one to three-fold by C_1-C_3 -alkyl, hydroxy, C_1-C_3 -alkoxy, fluorine, or phenyl,

C_2-C_6 -alkylene, in which a methylene unit is isosterically replaced by O, S, NR^9 , CO, SO or SO_2 , wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R^9 is selected from the group consisting of hydrogen, C_1-C_6 -alkyl, C_3-C_6 -alkenyl, C_3-C_6 -alkinyl, C_1-C_6 -acyl and C_1-C_6 -alkanesulfonyl,

1,2-cyclopropylene,

C_2-C_6 -alkenylene,

a substituted C_2-C_6 -alkenylene which is substituted once

to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethinylene,

E1 D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

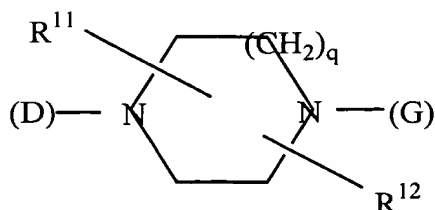
C₄-C₁₀-alkinylene,

a substituted C₄-C₁₀-alkinylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkinylene, in

which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

and wherein R¹¹ and R¹² may together form a C₁-C₃-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0, 1, 2 or 3,

s is 0 or 1,

R^{13} is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_8 -cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

E1 monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage may occur either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

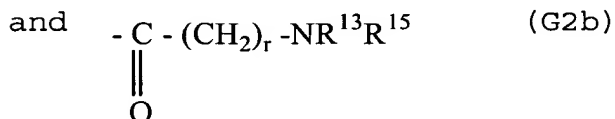
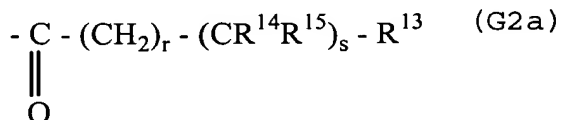
R¹⁵ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵ is a nitrogen containing

heterocycle,

wherein $\text{-NR}^{13}\text{R}^{15}$ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

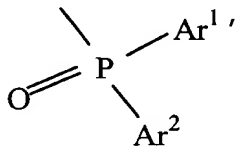
*E*₁ saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetro-atoms that are selected from N, S and O;

G^3 is $\text{-SO}_2\text{-(CH}_2\text{)}_r\text{-R}^{13}$

wherein r and R^{13} have the above meanings,

G^4 is



wherein

Ar¹ and Ar² are selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G⁵ is -COR¹⁶

R¹⁶ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy,

wherein G is not -(CH₂)_r-(CR¹⁴R¹⁵)_s-R¹³ when

R¹³ represents pyridyl or phenyl, which may be substituted by halogen, alkyl, alkoxy or trifluoromethyl,

R¹⁴ represents hydrogen or phenyl, which may be substituted by halogen, alkyl, alkoxy or trifluoromethyl,

R¹⁵ represents hydrogen,

A represents alkylene, substituted ethenylene or butadienylene,

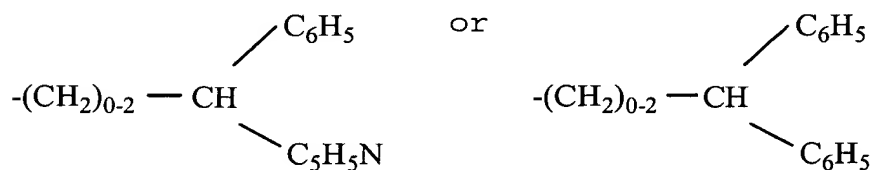
D represents alkylene or alkenylene,

E represents piperazine or homopiperazine, and

s is 1;

wherein G is not phenyl, N-containing heteroaryl,

-CH₂)₀₋₂-CH₂-C₆H₅, -(CH₂)₀₋₂-CH₂-C₅H₅N,

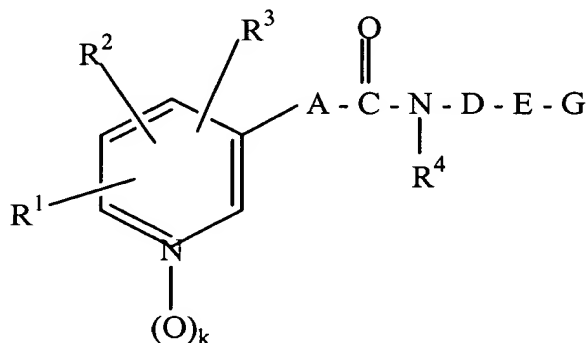


wherein the phenyl group or moiety may be substituted by one or two members selected from the group consisting of halogen, a C₁-C₆ alkyl, trifluoromethyl and a C₁-C₆ alkoxy,

when

- R^1 is hydrogen, a halogen, a C_1 - C_6 -alkyl, a C_1 - C_6 -alkoxy, a C_1 - C_6 -alkylthio, a C_3 - C_8 -cycloalkyloxy, a C_3 - C_8 -cycloalkylthio, a C_2 - C_7 -alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;
- R^2 is hydrogen, a hydroxy, a C_1 - C_7 -alkanoyloxy or a C_2 - C_7 -alkoxycarbonyloxy, or when R^1 and R_2 are adjacent to each other, they may combine to form tetramethylene or $-CH_2OCR^{8a}R^{9a}O-$, wherein R^{8a} and R^{9a} are the same or different and are each a C_1 - C_6 -alkyl;
- R^3 is hydrogen, a C_1 - C_6 -alkyl or a hydroxy- C_1 - C_6 -alkyl;
- A is a C_1 - C_6 -alkylene or $-(CR^{6a}=CR^{7a})ra-$, wherein R^{6a} is hydrogen, a C_1 - C_6 -alkyl or a phenyl, R^{7a} is hydrogen, a C_1 - C_6 -alkyl, cyano or a phenyl, and ra is 1 or 2;
- R^4 is hydrogen;
- D is a C_1 - C_{10} -alkylene or a C_4 - C_{10} -alkylene interrupted by at least one double bond; and
- E is selected from the group consisting of piperazine, piperazine, which is substituted by C_1 - C_6 -alkyl, homopiperazine, and homopiperazine, which is substituted by C_1 - C_6 -alkyl.

3. (Twice amended) A compound according to formula (I)



(I)

wherein

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₄-alkoxy, benzyloxy, C₁-C₄-alkylthio, C₁-C₅-alkanoyloxy, C₁-C₄-alkylthio, C₂-C₅-alkoxycarbonyl, aminocarbonyl, C₂-C₅-alkylaminocarbonyl, C₃-C₉-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from hydrogen and C₁-C₆-alkyl;

E1 R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, and C₁-C₄-alkoxy;

R³ is selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically

replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and, the residue R⁹, is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-acyl and methane sulfonyl;

1,2-cyclopropylene,

C₂-C₆-alkenylene,

E, a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once to twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano, and

ethinylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;

C₄-C₁₀-alkenylene,

a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;

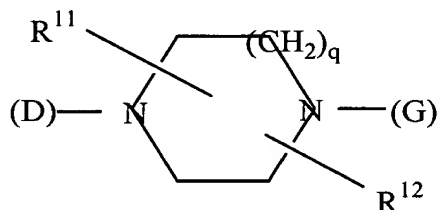
C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy; and

E (C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, wherein one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein

R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₃-alkyl, hydroxy, hydroxymethyl, carboxy, and C₂-C₇-alkoxycarbonyl and

R¹² is selected from the group consisting of hydrogen, and

an oxo group adjacent to a nitrogen atom,

and wherein R^{11} and R^{12} may together form a C_1 - C_3 -alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G^1 is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0, 1 or 2,

s is 0 or 1,

R^{13} is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_8 -cycloalkyl; benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring

atoms are selected from the group consisting of N, S and O, wherein the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

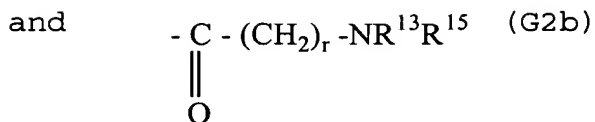
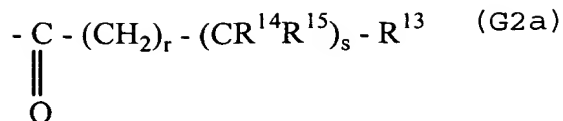
R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

E₁ monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group;

G^2 is selected from the group consisting of



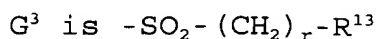
wherein r , s and the substituents R^{13} to R^{15} can have the above meaning, or the group $\text{-NR}^{13}\text{R}^{15}$ is a nitrogen containing heterocycle,

E1 wherein $\text{-NR}^{13}\text{R}^{15}$ is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered heterocycles which aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

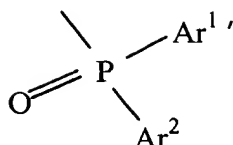
saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms, and

saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms that aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from the group consisting of N, S and O;



wherein r and R^{13} have the above meaning,

G⁴ is

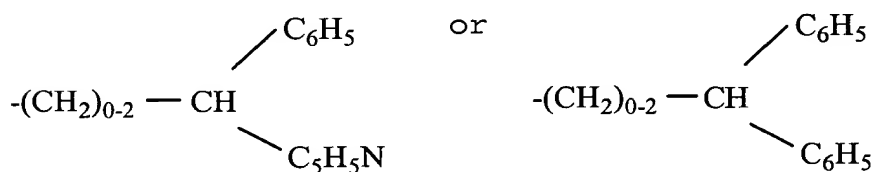


wherein

Ar¹ and Ar² are be selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G⁵ is -COR¹⁶

E1
R¹⁶ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy, wherein G is not phenyl, N-containing heteroaryl, - (CH₂)₀₋₂-CH₂-C₆H₅, - (CH₂)₀₋₂-CH₂-C₅H₅N,



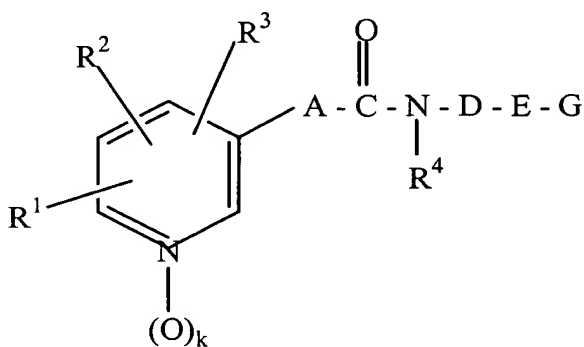
wherein the phenyl group or moiety may be substituted by one or two members selected from the group consisting of halogen, a C₁-C₆ alkyl, trifluoromethyl and a C₁-C₆ alkoxy,

when

R¹ is hydrogen, a halogen, a C₁-C₆-alkyl, a C₁-C₆-alkoxy, a C₁-C₆-alkylthio, a C₃-C₈-cycloalkyloxy, a C₃-C₈-cycloalkylthio, a C₂-C₇-alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;

- R^2 is hydrogen, a hydroxy, a C_1 - C_7 -alkanoyloxy or a C_2 - C_7 -alkoxycarbonyloxy, or when R^1 and R_2 are adjacent to each other, they may combine to form tetramethylene or $-CH_2OCR^{8a}R^{9a}O-$, wherein R^{8a} and R^{9a} are the same or different and are each a C_1 - C_6 -alkyl;
- R^3 is hydrogen, a C_1 - C_6 -alkyl or a hydroxy- C_1 - C_6 -alkyl;
- A is a C_1 - C_6 -alkylene or $-(CR^{6a}=CR^{7a})ra-$, wherein R^{6a} is hydrogen, a C_1 - C_6 -alkyl or a phenyl, R^{7a} is hydrogen, a C_1 - C_6 -alkyl, cyano or a phenyl, and ra is 1 or 2;
- R^4 is hydrogen;
- D is a C_1 - C_{10} -alkylene or a C_4 - C_{10} -alkylene interrupted by at least one double bond; and
- E is selected from the group consisting of piperazine, piperazine, which is substituted by C_1 - C_6 -alkyl, homopiperazine, and homopiperazine, which is substituted by C_1 - C_6 -alkyl.

12. (four times amended) A pharmaceutical composition comprising the compound of formula (I)



(I)

wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

E₂
R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to

three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C_2 - C_{10} -alkylene which is substituted once or twice by C_1 - C_6 -alkyl, hydroxy, or C_1 - C_6 -alkoxy;

C_4 - C_{10} -alkenylene,

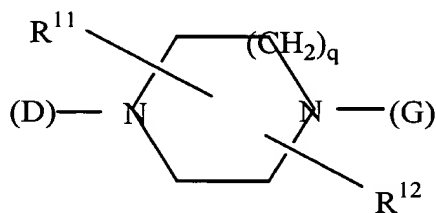
a substituted C_4 - C_{10} -alkenylene which is substituted once or twice by C_1 - C_6 -alkyl, hydroxy, or C_1 - C_6 -alkoxy;

C_4 - C_{10} -alkynylene,

Ez a substituted C_4 - C_{10} -alkynylene which is substituted once or twice by C_1 - C_6 -alkyl, hydroxy, or C_1 - C_6 -alkoxy; and

C_2 - C_{10} -alkylene, C_4 - C_{10} -alkenylene or C_4 - C_{10} -alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR^{10} , CO, SO, or SO_2 , wherein R^{10} has the same meaning as R^9 , but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R^{11} is selected from the group consisting of hydrogen C_1 - C_6 -alkyl, hydroxy, hydroxymethyl, carboxy, or C_2 - C_7 -alkoxycarbonyl,

R^{12} is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G^1 is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

E2
 R^{13} is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_8 -cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either

directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R¹⁴ has the same meaning as R¹³, but is selected independently thereof;

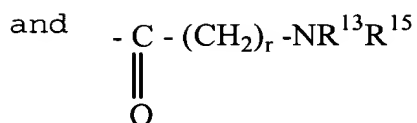
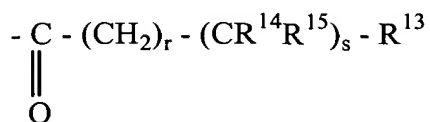
E₂ R¹⁵ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

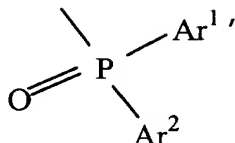
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$ ($G3$)

wherein r and R^{13} have the above meanings,

G^4 is



wherein

Ar^1 and Ar^2 are selected independently from each other from phenyl, pyridyl or naphthyl,

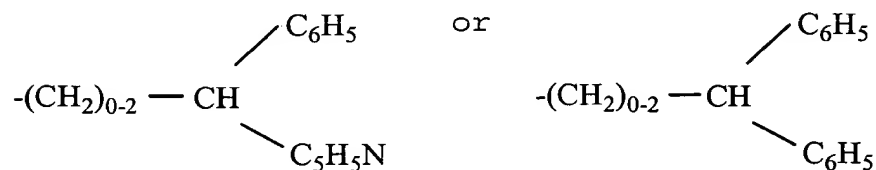
G^5 is $-\text{COR}^{16}$ ($G5$)

R^{16} is selected from the group consisting of trifluoromethyl, $\text{C}_1\text{-C}_6\text{-alkoxy}$, $\text{C}_3\text{-C}_6\text{-alkenyloxy}$, and benzyloxy,

wherein G is not $-(\text{CH}_2)_r-(\text{CR}^{14}\text{R}^{15})_s-\text{R}^{13}$ when
 R^{13} represents pyridyl or phenyl, substituted by halogen, alkyl, alkoxy or trifluoromethyl,
 R^{14} represents hydrogen or phenyl, substituted by halogen, alkyl, alkoxy or trifluoromethyl,
 R^{15} represents hydrogen,

A represents alkylene, substituted ethenylene or butadienylene,
 D represents alkylene or alkenylene,
 E represents piperazine or homopiperazine, and
 S is 1;

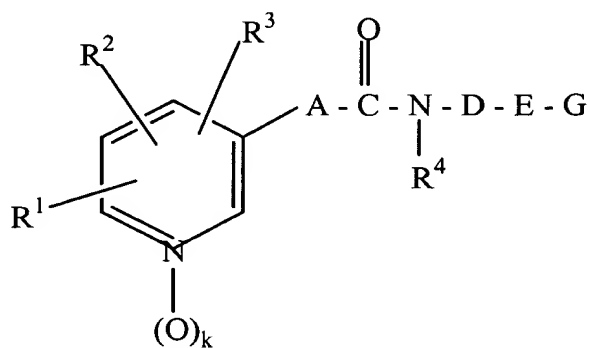
wherein G^1 is not phenyl, N-containing heteroaryl,
 $-(CH_2)_{0-2}-CH_2-C_6H_5$, $-(CH_2)_{0-2}-CH_2-C_5H_5N$,



wherein the phenyl group or moiety may be substituted by one or two members selected from the group consisting of halogen, a C_1 - C_6 alkyl, trifluoromethyl and a C_1 - C_6 alkoxy, when

- E₂*
- R^1 is hydrogen, a halogen, a C_1 - C_6 -alkyl, a C_1 - C_6 -alkoxy, a C_1 - C_6 -alkylthio, a C_3 - C_8 -cycloalkyloxy, a C_3 - C_8 -cycloalkylthio, a C_2 - C_7 -alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;
- R^2 is hydrogen, a hydroxy, a C_1 - C_7 -alkanoyloxy or a C_2 - C_7 -alkoxycarbonyloxy, or when R^1 and R_2 are adjacent to each other, they may combine to form tetramethylene or $-CH_2OCR^{8a}R^{9a}O-$, wherein R^{8a} and R^{9a} are the same or different and are each a C_1 - C_6 -alkyl;
- R^3 is hydrogen, a C_1 - C_6 -alkyl or a hydroxy- C_1 - C_6 -alkyl;
- A is a C_1 - C_6 -alkylene or $-(CR^{6a}=CR^{7a})ra-$, wherein R^{6a} is hydrogen, a C_1 - C_6 -alkyl or a phenyl, R^{7a} is hydrogen, a C_1 - C_6 -alkyl, cyano or a phenyl, and ra is 1 or 2;
- R^4 is hydrogen;
- D is a C_1 - C_{10} -alkylene or a C_4 - C_{10} -alkylene interrupted by at least one double bond; and
- E is selected from the group consisting of piperazine, piperazine, which is substituted by C_1 - C_6 -alkyl, homopiperazine, and homopiperazine, which is substituted by C_1 - C_6 -alkyl.

32. (Twice amended) A pharmaceutical composition comprising the compound of formula (I)



E3

(I)

wherein

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_4 -alkoxy, benzyloxy, C_1 - C_4 -alkylthio, C_1 - C_5 -alkanoyloxy, C_1 - C_4 -alkylthio, C_2 - C_5 -alkoxycarbonyl, aminocarbonyl, C_2 - C_5 -alkylaminocarbonyl, C_3 - C_9 -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, and NR^5R^6 , wherein

R^5 and R^6 are selected independently of each other from hydrogen and C_1 - C_6 -alkyl;

R^2 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, and C_1 - C_4 -alkoxy;

R^3 is selected from the group consisting of hydrogen, halogen and C_1 - C_6 -alkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, or phenyl,

E3 C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and, the residue R⁹, is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-acyl and methane sulfonyl;

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once to twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano, and

ethinylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;

E3

C₄-C₁₀-alkenylene,

a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy;

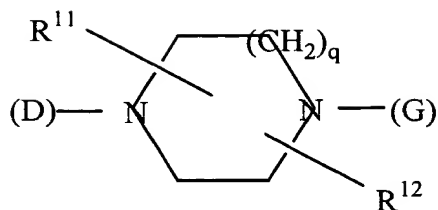
C₄-C₁₀-alkinylene,

a substituted C₄-C₁₀-alkinylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkinylene, wherein one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein

R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

E3 R¹¹ is selected from the group consisting of hydrogen C₁-C₃-alkyl, hydroxy, hydroxymethyl, carboxy, and C₂-C₇-alkoxycarbonyl and

R¹² is selected from the group consisting of hydrogen, and an oxo group adjacent to a nitrogen atom,

and wherein R¹¹ and R¹² may together form a C₁-C₃-alkylene bridge under formation of a bicyclic ring system;

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0, 1 or 2,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl; benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

E3 anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O, wherein the linkage occurs either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

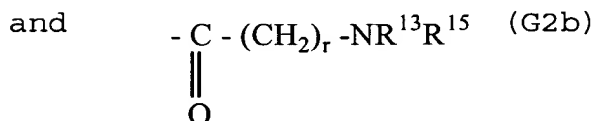
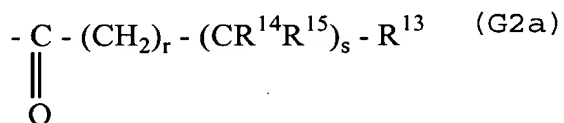
monocyclic aromatic five or six-membered heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O, wherein the heterocycles are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms

and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N, S and O and the linkage may occur either over an aromatic ring or a hydrogenated ring and either directly or over a methylene group;

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵ is a nitrogen containing heterocycle,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered heterocycles which aside from the essential nitrogen atom contain one or two further hetero-atoms selected from the group consisting of N, S and O,

saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms, and

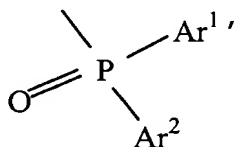
saturated or unsaturated bi- or tricyclic anellated or bridged heterocycles with 8 to 16 ring atoms that aside from the essential nitrogen atom, contain one or two further heteroatoms that are selected from the group consisting of N, S and O;

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$

wherein r and R^{13} have the above meaning,

E3

G^4 is



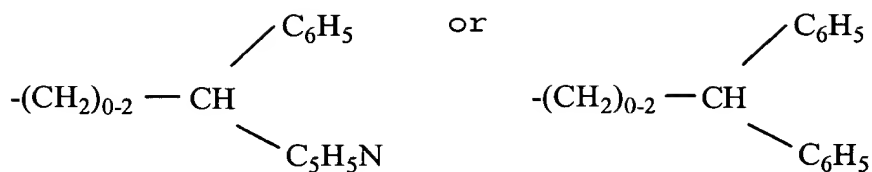
wherein

Ar^1 and Ar^2 are be selected independently from each other from the group consisting of phenyl, pyridyl and naphthyl,

G^5 is $-\text{COR}^{16}$

R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy,

wherein G is not phenyl, N-containing heteroaryl,
 $-(\text{CH}_2)_{0-2}-\text{CH}_2-\text{C}_6\text{H}_5$, $-(\text{CH}_2)_{0-2}-\text{CH}_2-\text{C}_5\text{H}_5\text{N}$,



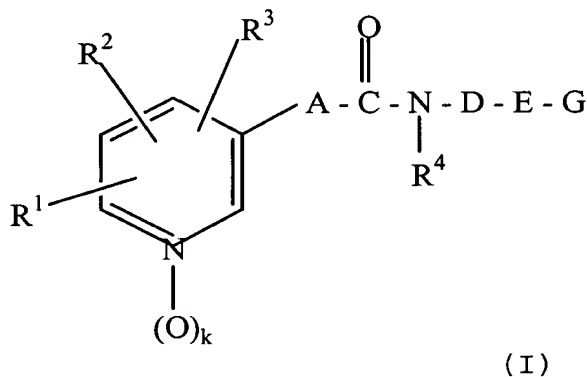
wherein the phenyl group or moiety may be substituted by one or two members selected from the group consisting of halogen, a C₁-C₆ alkyl, trifluoromethyl and a C₁-C₆ alkoxy, when

- E3
- R¹ is hydrogen, a halogen, a C₁-C₆-alkyl, a C₁-C₆-alkoxy, a C₁-C₆-alkylthio, a C₃-C₈-cycloalkyloxy, a C₃-C₈-cycloalkylthio, a C₂-C₇-alkoxycarbonyl, carboxy, a phenyl, a phenoxy, a phenylthio, 3-pyridyloxy or 3-pyridylthio;
- R² is hydrogen, a hydroxy, a C₁-C₇-alkanoyloxy or a C₂-C₇-alkoxycarbonyloxy, or when R¹ and R₂ are adjacent to each other, they may combine to form tetramethylene or -CH₂OCR^{8a}R^{9a}O-, wherein R^{8a} and R^{9a} are the same or different and are each a C₁-C₆-alkyl;
- R³ is hydrogen, a C₁-C₆-alkyl or a hydroxy-C₁-C₆-alkyl;
- A is a C₁-C₆-alkylene or -(CR^{6a}=CR^{7a})ra-, wherein R^{6a} is hydrogen, a C₁-C₆-alkyl or a phenyl, R^{7a} is hydrogen, a C₁-C₆-alkyl, cyano or a phenyl, and ra is 1 or 2;
- R⁴ is hydrogen;
- D is a C₁-C₁₀-alkylene or a C₄-C₁₀-alkylene interrupted by at least one double bond; and
- E is selected from the group consisting of piperazine, piperazine, which is substituted by C₁-C₆-alkyl, homopiperazine, and homopiperazine, which is substituted by C₁-C₆-alkyl.

E4

33. (Once amended) A method of inhibiting tumor cell growth in a human or animal body comprising administering to

the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting tumor cell growth, wherein the pharmaceutical composition includes a compound of general formula (I)



E4 wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

E4 a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethinylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

E4 a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

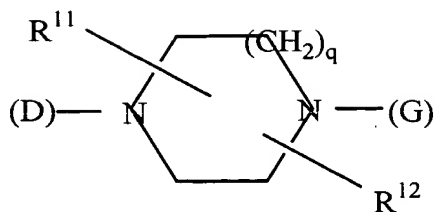
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkinylene,

a substituted C₄-C₁₀-alkinylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkinylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

E4 R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

E4 anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R¹⁴ has the same meaning as R¹³, but is selected independently thereof;

R¹⁵ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

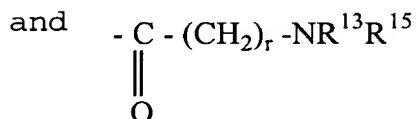
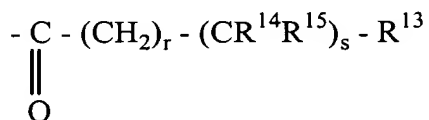
monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

E4

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-

membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

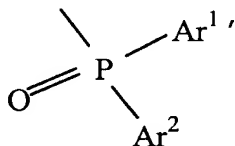
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

E4

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$ (G^3)

wherein r and R^{13} have the above meanings,

G^4 is



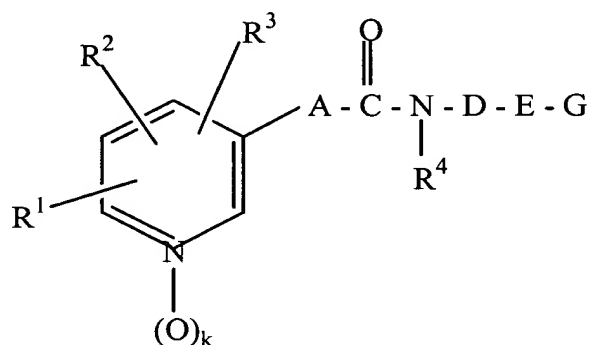
wherein

Ar^1 and Ar^2 are selected independently from each other from phenyl, pyridyl or naphthyl,

G^5 is $-\text{COR}^{16}$

R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy.

34. (Once amended) A method of suppressing autoimmune diseases in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for suppressing autoimmune reactions, wherein the pharmaceutical composition includes a compound of general formula (I)



wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-

alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

E₄
a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

E4 D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

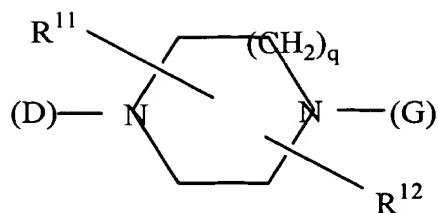
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

E₄ R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G₁, G₂, G₃, G₄, and G₅, wherein

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected

from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

E4 anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

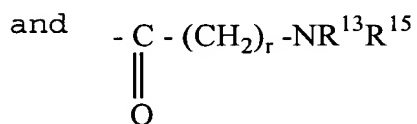
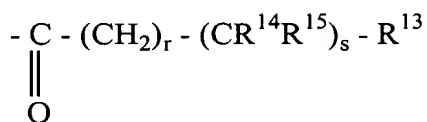
monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the

group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

E4 anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G² is selected from the group consisting of



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-

membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

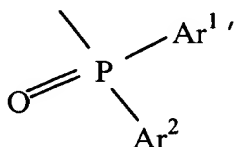
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

E4 saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetro-atoms that are selected from N, S and O;

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$ (G^3)

wherein r and R^{13} have the above meanings,

G^4 is



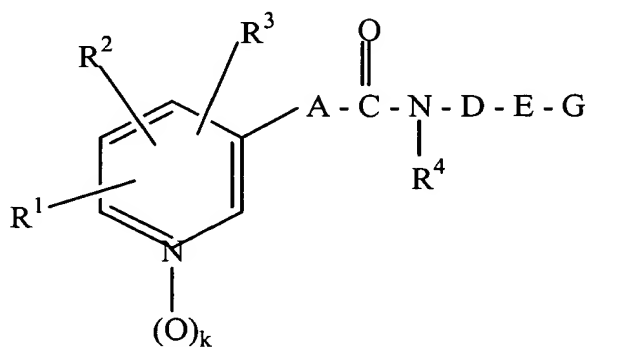
wherein

Ar^1 and Ar^2 are selected independently from each other from phenyl, pyridyl or naphthyl,

G^5 is $-\text{COR}^{16}$

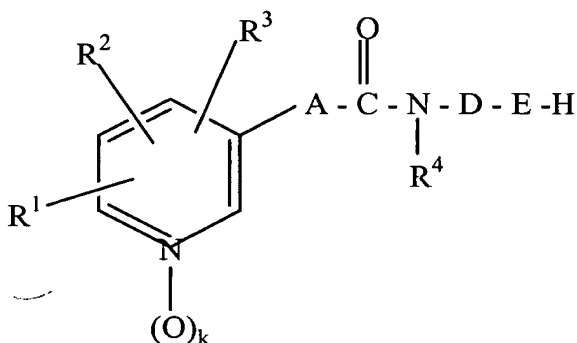
R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy.

35. (Once amended) A method for production of compounds according to formula (I)



(I)

wherein compounds of a formula



are reacted with a compound of formula (IV)

$L - G$ (IV)

wherein G is not hydrogen and is defined below, and L is a leaving group selected from the group consisting of alcohol, chlorine, bromine, iodine, sulfonic acid ester,

methanesulfonyloxy, trifluoromethanesulfonyloxy, ethanesulfonyloxy, benzensulfonyloxy, p-toluenesulfonyloxy, p-bromobenzenesulfonyloxy, m-nitrobenzenesulfonyloxy, and a terminal epoxide group,

wherein the reaction occurs in an inert solvent at a temperature between about 0°C and about 180°C., wherein:

E4 R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of
C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

E 4 C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-

alkyl, fluorine, cyano or phenyl, and

ethinylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

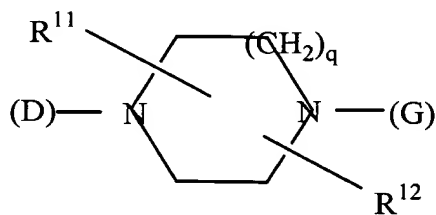
EY a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

E4
G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles

which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

E4 anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

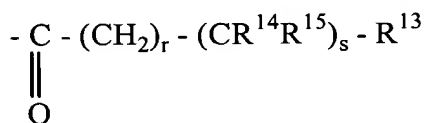
monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either

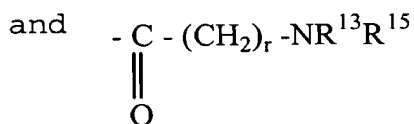
directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G² is selected from the group consisting of



E4



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms,

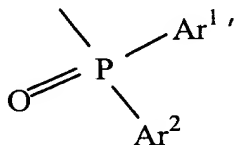
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$ (G^3)

wherein r and R^{13} have the above meanings,

E4

G^4 is



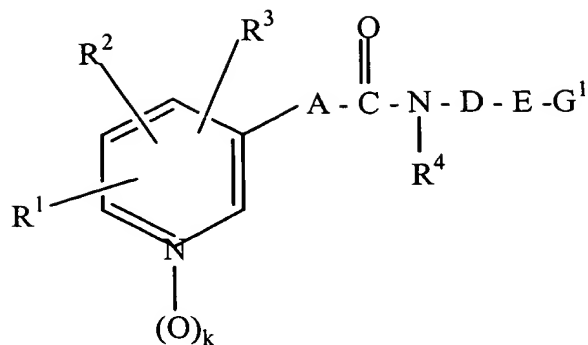
wherein

Ar^1 and Ar^2 are selected independently from each other from phenyl, pyridyl or naphthyl,

G^5 is $-\text{COR}^{16}$

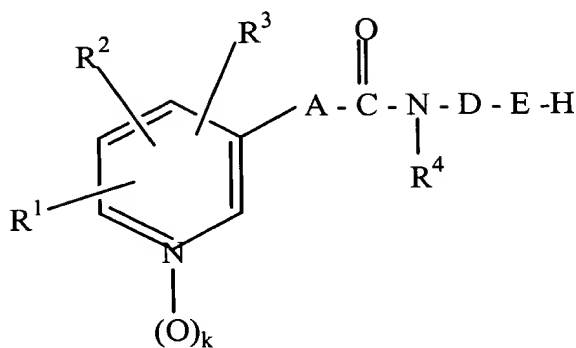
R^{16} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, and benzyloxy.

36. (Once amended) A method for production of compounds according to formula (I)



(I)

wherein compounds of a formula



are reacted with a compound of formula (IV)

L - G (IV)

wherein G is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl,

wherein L is a leaving group selected from the group consisting of alcohol, chlorine, bromine, iodine, sulfonic acid ester, methanesulfonyloxy, trifluoromethanesulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, p-toluenesulfonyloxy, p-bromobenzenesulfonyloxy, m-nitrobenzenesulfonyloxy, and a terminal epoxide group,

wherein the reaction occurs in an inert solvent at a temperature between about 0°C and about 180°C.,

wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

¶ 4 R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C_1-C_6 -alkylene which is substituted one to three-fold by C_1-C_3 -alkyl, hydroxy, C_1-C_3 -alkoxy, fluorine, or phenyl,

C_2-C_6 -alkylene, in which a methylene unit is isosterically replaced by O, S, NR^9 , CO, SO or SO_2 , wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R^9 is selected from the group consisting of hydrogen, C_1-C_6 -alkyl, C_3-C_6 -alkenyl, C_3-C_6 -alkinyl, C_1-C_6 -acyl and C_1-C_6 -alkanesulfonyl,

1,2-cyclopropylene,

C_2-C_6 -alkenylene,

E4 a substituted C_2-C_6 -alkenylene which is substituted once to three-fold by C_1-C_3 -alkyl, hydroxy, C_1-C_3 -alkoxy, fluorine, cyano or phenyl,

C_4-C_6 -alkadienylene,

a substituted C_4-C_6 -alkadienylene which is substituted once or twice by C_1-C_3 -alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C_1-C_3 -alkyl, fluorine, cyano or phenyl, and

ethinylene,

D is selected from the group consisting of C_2-C_{10} -

alkylene,

a substituted C_2 - C_{10} -alkylene which is substituted once or twice by C_1 - C_6 -alkyl, hydroxy, or C_1 - C_6 -alkoxy;

C_4 - C_{10} -alkenylene,

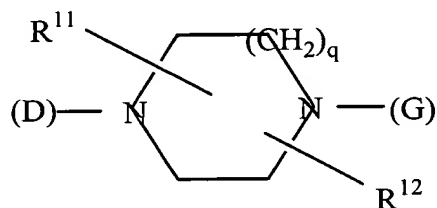
a substituted C_4 - C_{10} -alkenylene which is substituted once or twice by C_1 - C_6 -alkyl, hydroxy, or C_1 - C_6 -alkoxy;

C_4 - C_{10} -alkynylene,

a substituted C_4 - C_{10} -alkynylene which is substituted once or twice by C_1 - C_6 -alkyl, hydroxy, or C_1 - C_6 -alkoxy; and

E_4
 C_2 - C_{10} -alkylene, C_4 - C_{10} -alkenylene or C_4 - C_{10} -alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR^{10} , CO, SO, or SO_2 , wherein R^{10} has the same meaning as R^9 , but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R^{11} is selected from the group consisting of hydrogen C_1 -

C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

1E4 saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

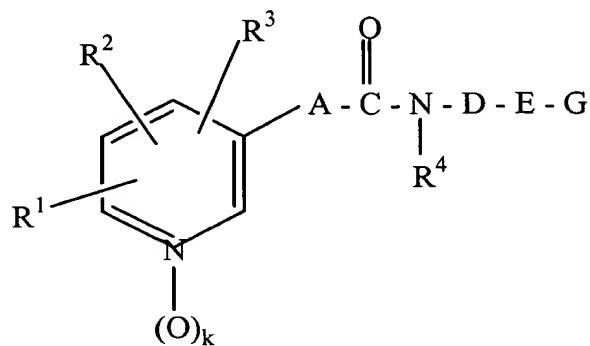
E4

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group.

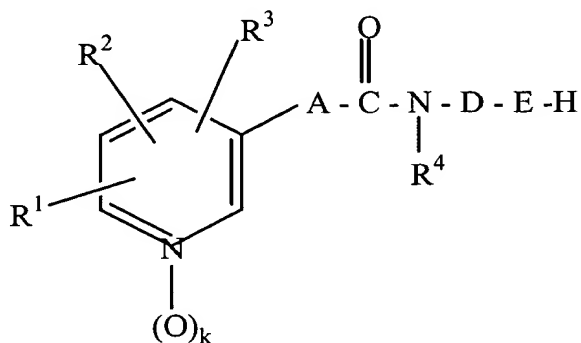
37. (Twice amended) A method for production of compounds according to formula (I)



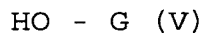
(I)

wherein G is selected from the group consisting of an acyl residue, a carbamoyl residue, a sulfonyl residue and a phosphinoyl residue,

wherein compounds of a formula



are reacted with a compound of formula (V)



wherein G is selected from the group consisting of acyl

residues, carbamoyl residues, sulfonyl residues, and
phosphinoyl residues,
wherein:

ES R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of
C₁-C₆-alkylene,

a substituted C_1-C_6 -alkylene which is substituted one to three-fold by C_1-C_3 -alkyl, hydroxy, C_1-C_3 -alkoxy, fluorine, or phenyl,

C_2-C_6 -alkylene, in which a methylene unit is isosterically replaced by O, S, NR^9 , CO, SO or SO_2 , wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R^9 is selected from the group consisting of hydrogen, C_1-C_6 -alkyl, C_3-C_6 -alkenyl, C_3-C_6 -alkinyl, C_1-C_6 -acyl and C_1-C_6 -alkanesulfonyl,

ES 1,2-cyclopropylene,

C_2-C_6 -alkenylene,

a substituted C_2-C_6 -alkenylene which is substituted once to three-fold by C_1-C_3 -alkyl, hydroxy, C_1-C_3 -alkoxy, fluorine, cyano or phenyl,

C_4-C_6 -alkadienylene,

a substituted C_4-C_6 -alkadienylene which is substituted once or twice by C_1-C_3 -alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C_1-C_3 -alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C_2-C_{10} -alkylene,

a substituted C_2-C_{10} -alkylene which is substituted once or twice by C_1-C_6 -alkyl, hydroxy, or C_1-C_6 -alkoxy;

C_4-C_{10} -alkenylene,

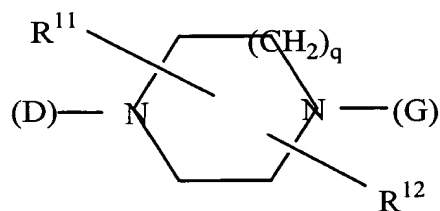
a substituted C_4-C_{10} -alkenylene which is substituted once or twice by C_1-C_6 -alkyl, hydroxy, or C_1-C_6 -alkoxy;

C_4-C_{10} -alkynylene,

ES a substituted C_4-C_{10} -alkynylene which is substituted once or twice by C_1-C_6 -alkyl, hydroxy, or C_1-C_6 -alkoxy; and

C_2-C_{10} -alkylene, C_4-C_{10} -alkenylene or C_4-C_{10} -alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR^{10} , CO, SO, or SO_2 , wherein R^{10} has the same meaning as R^9 , but is selected independently thereof;

E is



wherein

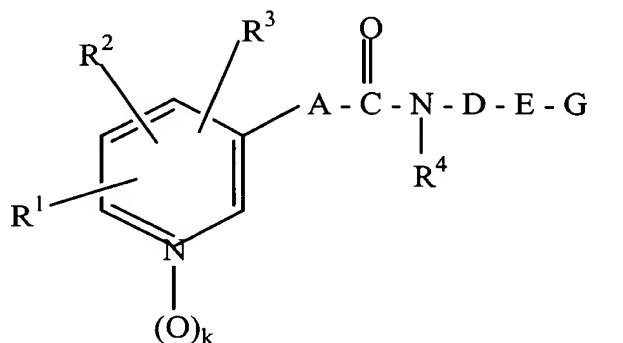
q is 1, 2, or 3;

R^{11} is selected from the group consisting of hydrogen C_1-C_6 -alkyl, hydroxy, hydroxymethyl, carboxy, or C_2-C_7 -alkoxycarbonyl,

ES

R^{12} is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl and an oxo group adjacent to a nitrogen atom.

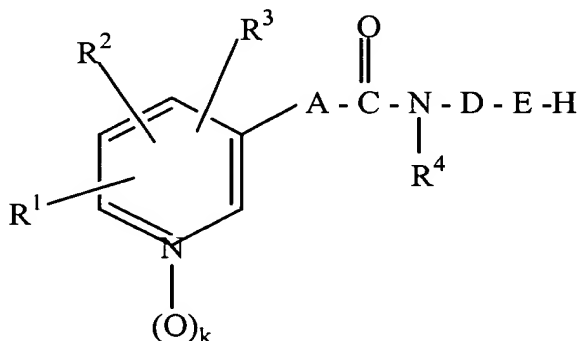
38. (Once amended) A method for production of compounds according to formula (I)



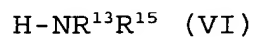
(I)

E6

wherein compounds of a formula



are reacted with a carbonyl group transmitter to an intermediate product which is reacted with a primary or secondary amine having the formula (VI)



wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl,

trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₂-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR⁵R⁶, wherein

E6 R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

E6 a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

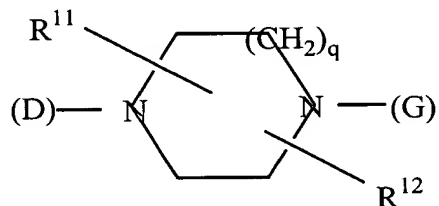
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

E₆ C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

wherein G is
$$\begin{array}{c} -\text{C}-(\text{CH}_2)_r-\text{NR}^{13}\text{R}^{15} \\ || \\ \text{O} \end{array}$$

wherein $r = 0$,

R^{13} is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_8 -cycloalkyl,

E6 saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring

atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

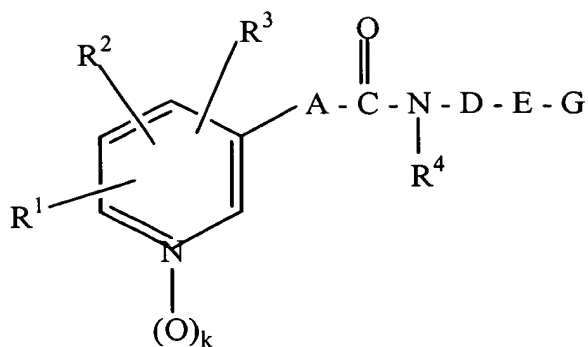
R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

E6 anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

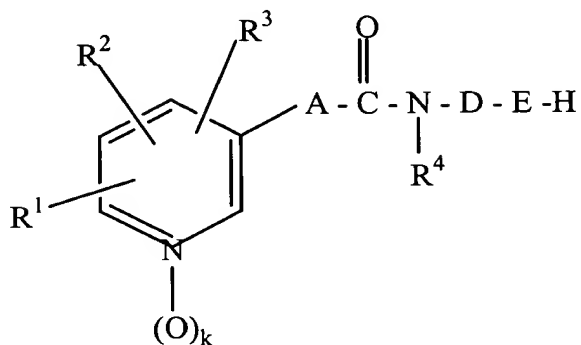
anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group.

39. (Once amended) A method for production of compounds according to formula (I)

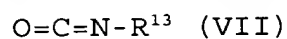


(I)

wherein compounds of a formula



are reacted with a compound of formula (VII)



wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

R⁵ and R⁶ are selected independently of each other from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R² is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyloxy and C₁-C₇-alkanoyloxy;

R³ is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

E₆ R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

E6 a 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C₂-C₁₀-alkylene,

a substituted C₂-C₁₀-alkylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkenylene,

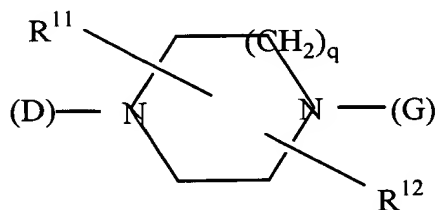
a substituted C₄-C₁₀-alkenylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy;

C₄-C₁₀-alkynylene,

a substituted C₄-C₁₀-alkynylene which is substituted once or twice by C₁-C₆-alkyl, hydroxy, or C₁-C₆-alkoxy; and

C₂-C₁₀-alkylene, C₄-C₁₀-alkenylene or C₄-C₁₀-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR¹⁰, CO, SO, or SO₂, wherein R¹⁰ has the same meaning as R⁹, but is selected independently thereof;

E is

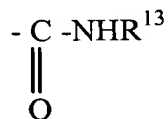


E6 wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom, wherein G is



R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected

from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

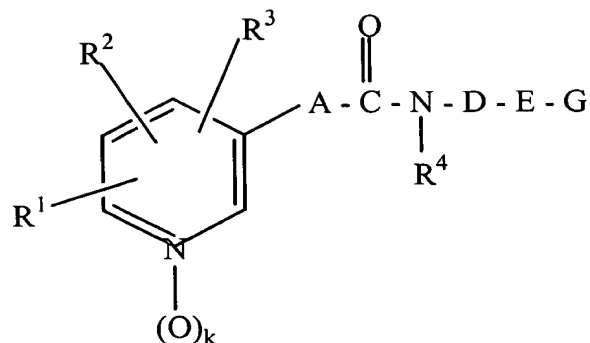
E6

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group.

49. (Once amended) A method of inhibiting colon, lung, liver and leukemia tumor cell growth in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting colon, lung, liver and leukemia tumor cell growth, wherein the pharmaceutical composition includes a compound of general formula (I)

E7



(I)

wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, hydroxy, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy, C_2 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio, C_3 - C_6 -alkinylthio, C_3 - C_8 -cycloalkyloxy, C_3 - C_8 -cycloalkylthio, C_2 - C_7 -alkoxycarbonyl, aminocarbonyl, C_2 - C_7 -alkylaminocarbonyl, C_3 - C_{13} -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR^5R^6 , wherein

R^5 and R^6 are selected independently of each other from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, benzyl and phenyl;

R^2 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, benzyloxy and C_1 - C_7 -alkanoyloxy;

R^3 is selected from the group consisting of hydrogen, halogen, C_1 - C_6 -alkyl, trifluoromethyl and C_1 - C_6 -hydroxyalkyl;

R^4 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl, C_3 - C_6 -cycloalkyl,

hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of
C₁-C₆-alkylene,

a substituted C₁-C₆-alkylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, or phenyl,

E7 C₂-C₆-alkylene, in which a methylene unit is isosterically replaced by O, S, NR⁹, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group and R⁹ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once to three-fold by C₁-C₃-alkyl, hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene,

a 1,3,5-hexatrienylene which is substituted by C_1-C_3 -alkyl, fluorine, cyano or phenyl, and

ethynylene,

D is selected from the group consisting of C_2-C_{10} -alkylene,

a substituted C_2-C_{10} -alkylene which is substituted once or twice by C_1-C_6 -alkyl, hydroxy, or C_1-C_6 -alkoxy;

C_4-C_{10} -alkenylene,

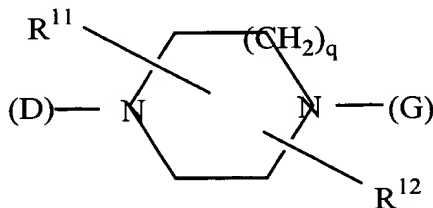
E7 a substituted C_4-C_{10} -alkenylene which is substituted once or twice by C_1-C_6 -alkyl, hydroxy, or C_1-C_6 -alkoxy;

C_4-C_{10} -alkynylene,

a substituted C_4-C_{10} -alkynylene which is substituted once or twice by C_1-C_6 -alkyl, hydroxy, or C_1-C_6 -alkoxy; and

C_2-C_{10} -alkylene, C_4-C_{10} -alkenylene or C_4-C_{10} -alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR^{10} , CO, SO, or SO_2 , wherein R^{10} has the same meaning as R^9 , but is selected independently thereof;

E is



wherein

q is 1, 2, or 3;

R¹¹ is selected from the group consisting of hydrogen C₁-C₆-alkyl, hydroxy, hydroxymethyl, carboxy, or C₂-C₇-alkoxycarbonyl,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom,

G is selected from the group consisting of G1, G2, G3, G4, and G5, wherein

E7 G¹ is $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$

r is 0 to 3,

s is 0 or 1,

R¹³ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₈-cycloalkyl,

saturated or unsaturated four to eight-membered heterocycles which contain one or two hetero-atoms selected from the group consisting of N, S and O,

benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from the

group consisting of N, S and O where the heter-atoms and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

E7 anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

R^{14} has the same meaning as R^{13} , but is selected independently thereof;

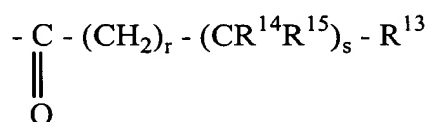
R^{15} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl,

monocyclic aromatic five or six-member heterocycles, which contain one to three hetero-atoms selected from the group consisting of N, S and O and wherein the hetero-atoms are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from the group consisting of N, S and O and the linkage occurs either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G² is selected from the group consisting of



and $-\text{C}-(\text{CH}_2)_r-\text{NR}^{13}\text{R}^{15}$



wherein r, s and the substituents R¹³ to R¹⁵ can have the above meaning, or the group -NR¹³R¹⁵,

wherein -NR¹³R¹⁵ is a nitrogen-containing heterocycle bound over the nitrogen atom selected from the group consisting of

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles,

saturated or unsaturated monocyclic, four to eight-membered nitrogen-containing heterocycles which, aside from the essential nitrogen atom, contain one or two further hetero-atoms selected from the group consisting of N, S and O,

saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring

atoms,

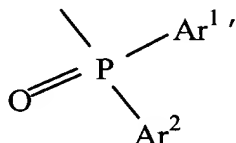
saturated or unsaturated bi- or tricyclic anellated or bridged nitrogen-containing heterocycles with 8 to 16 ring atoms which aside from the essential nitrogen atom, contain one or two further hetero-atoms that are selected from N, S and O;

G^3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13}$ (G^3)

wherein r and R^{13} have the above meanings,

G^4 is

Fig



wherein

Ar^1 and Ar^2 are selected independently from each other from phenyl, pyridyl or naphthyl,

G^5 is $-\text{COR}^{16}$

R^{16} is selected from the group consisting of trifluoromethyl, $\text{C}_1\text{-C}_6$ -alkoxy, $\text{C}_3\text{-C}_6$ -alkenyloxy, and benzyloxy.
